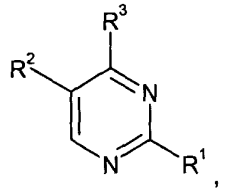
- Amendments to the Claims -

Cancel claims 1 - 164 and 167 - 188.

1. - 164. (Canceled)

165. (Original) A compound of the formula I^A,



I^A

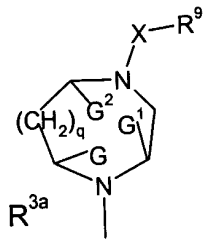
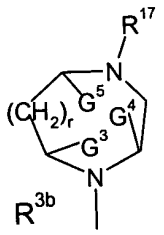
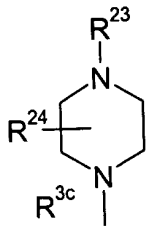
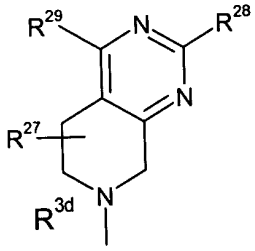
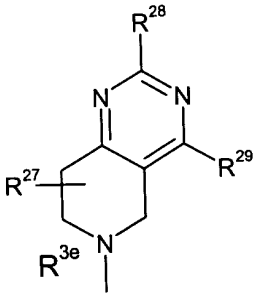
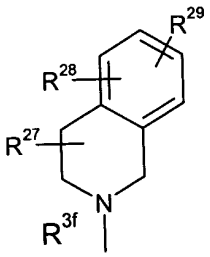
wherein:

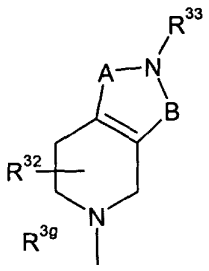
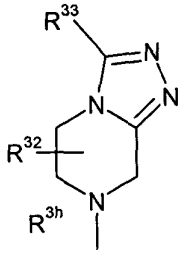
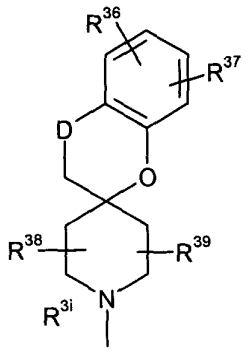
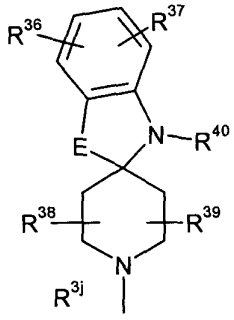
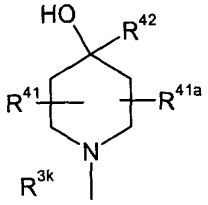
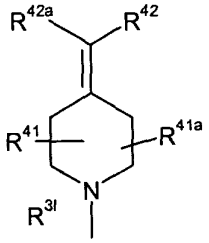
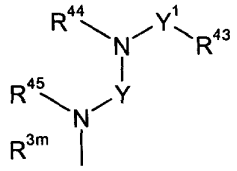
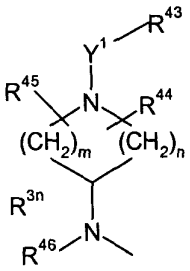
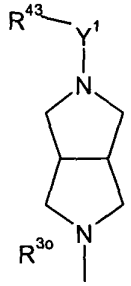
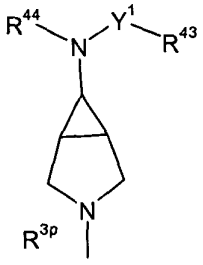
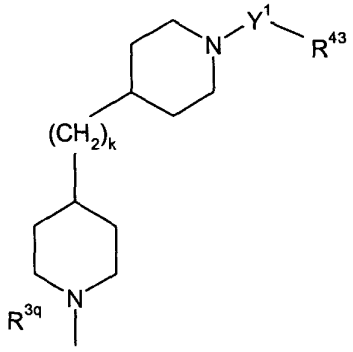
R^1 is C-(OR^{80})R^4R^5, where R^{80} is independently (C_1-C_4)alkyl, benzyl, (C_1-C_6)alkylcarbonyl or phenylcarbonyl, where said benzyl and said phenyl are optionally substituted with up to three (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halo or nitro;

R^4 and R^5 are each independently hydrogen, methyl, ethyl or hydroxy-(C_1-C_3)alkyl;

R^2 is hydrogen, (C_1-C_4)alkyl or (C_1-C_4)alkoxy;

R^3 is a radical of the formula


X
R9
N
G2
G1
(CH2)q
G
N
R3a
,

R17
N
G5
G4
(CH2)r
G3
N
R3b
,

R23
N
R24
N
R3c
,

R29
N
R28
N
R27
N
R3d
,

R28
N
N
R29
R27
N
R3e
,

R29
R28
R27
N
R3f
,

wherein said radical of formula R^{3a} is substituted by R^6, R^7 and R^8;
said radical of formula R^{3b} is substituted by R^{18}, R^{19} and R^{20};

G, G^1 and G^2 are taken separately and are each hydrogen and R^6 is hydrogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxycarbonyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, hydroxy-(C_1-C_4)alkyl or phenyl optionally independently substituted with up to three hydroxy, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl or (C_1-C_4)alkoxy, wherein said (C_1-C_4)alkyl in the definition of R^6 and said (C_1-C_4)alkoxy in the definition of R^6 are optionally and independently substituted with up to five fluoro; R^7 and R^8 are each independently hydrogen or (C_1-C_4)alkyl; or

G and G^1 are taken together and are (C_1-C_3)alkylene and R^6, R^7, R^8 and G^2 are hydrogen; or

G^1 and G^2 are taken together and are (C_1-C_3)alkylene and R^6, R^7, R^8 and G are hydrogen;

q is 0 or 1;

X is a covalent bond, -(C=NR^{10})-, oxycarbonyl, vinylenylcarbonyl, oxy(C_1-C_4)alkylenylcarbonyl, (C_1-C_4)alkylenylcarbonyl, (C_3-C_4)alkenylcarbonyl, thio(C_1-C_4)alkylenylcarbonyl, vinylenylsulfonyl, sulfinyl-(C_1-C_4)alkylenylcarbonyl, sulfonyl-(C_1-C_4)alkylenylcarbonyl or carbonyl(C_0-C_4)alkylenylcarbonyl; wherein said oxy(C_1-C_4)alkylenylcarbonyl, (C_1-C_4)alkylenylcarbonyl, (C_3-C_4)alkenylcarbonyl and thio(C_1-C_4)alkylenylcarbonyl in the definition of X are each optionally and independently substituted with up to two (C_1-C_4)alkyl, benzyl or Ar; said vinylenylsulfonyl and said vinylenylcarbonyl in the definition of X are optionally substituted independently on one or two vinylenyl carbons with (C_1-C_4)alkyl, benzyl or Ar; and said carbonyl(C_0-C_4)alkylenylcarbonyl in the definition of X is optionally substituted indepedently with up to three (C_1-C_4)alkyl, benzyl or Ar;

R^{10} is hydrogen or (C_1-C_4)alkyl;

R^9 is (C_3-C_7)cycloalkyl, Ar^1-(C_0-C_3)alkylenyl or (C_1-C_6)alkyl optionally substituted with up to five fluoro; provided that when q = 0 and X is a covalent bond, oxycarbonyl or (C_1-C_4)alkylenylcarbonyl, then R^9 is not (C_1-C_6)alkyl;

Ar and Ar^1 are independently a fully saturated, partially saturated or fully unsaturated five- to eight-membered ring optionally having up to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused independently partially saturated, fully saturated or fully unsaturated five- to seven-membered rings, taken independently, optionally having up to four heteroatoms selected independently from nitrogen, sulfur and oxygen, or a tricyclic ring consisting of three fused independently partially saturated, fully saturated or fully unsaturated five to seven membered rings, taken independently, optionally having up to four heteroatoms selected independently from nitrogen, sulfur and oxygen, said partially saturated, fully

saturated ring or fully unsaturated monocyclic ring, bicyclic ring or tricyclic ring optionally having one or two oxo groups substituted on carbon or one or two oxo groups substituted on sulfur;

Ar and Ar^1 are optionally independently substituted on carbon or nitrogen, on one ring if the moiety is monocyclic, on one or both rings if the moiety is bicyclic, or on one, two or three rings if the moiety is tricyclic, with up to a total of four substituents independently selected from R^{11}, R^{12}, R^{13} and R^{14}; wherein R^{11}, R^{12}, R^{13} and R^{14} are each taken separately and are each independently halo, formyl, $(C_1$-$C_6)$alkoxycarbonyl, $(C_1$-$C_6)$alkylenyloxycarbonyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $C(OH)R^{15}R^{16}$, naphthyl, phenyl, imidazolyl, pyridyl, triazolyl, morpholinyl, $(C_0$-$C_4)$alkylsulfamoyl, N-$(C_0$-$C_4)$alkylcarbamoyl, N,N-di-$(C_1$-$C_4)$alkylcarbamoyl, N-phenylcarbamoyl, N-$(C_1$-$C_4)$alkyl-N-phenylcarbamoyl, N,N-diphenyl carbamoyl, $(C_1$-$C_4)$alkylcarbonylamido, $(C_3$-$C_7)$cycloalkylcarbonylamido, phenylcarbonylamido, piperidinyl, pyrrolidinyl, piperazinyl, cyano, benzimidazolyl, amino, anilino, pyrimidyl, oxazolyl, isoxazolyl, tetrazolyl, thienyl, thiazolyl, benzothiazolyl, pyrrolyl, pyrazolyl, tetrahydroquinolyl, tetrahydroisoquinolyl, benzoxazolyl, pyridazinyl, pyridyloxy, pyridylsulfanyl, furanyl, 8-$(C_1$-$C_4)$alkyl-3,8-diaza[3.2.1]bicyclooctyl, 3,5-dioxo-1,2,4-triazinyl, phenoxy, thiophenoxy, $(C_1$-$C_4)$alkylsulfanyl, $(C_1$-$C_4)$alkylsulfonyl, $(C_3$-$C_7)$cycloalkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro or $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said naphthyl, phenyl, pyridyl, piperidinyl, benzimidazolyl, pyrimidyl, thienyl, benzothiazolyl, pyrrolyl, tetrahydroquinolyl, tetrahydroisoquinolyl, benzoxazolyl, pyridazinyl, pyridyloxy, pyridylsulfanyl, furanyl, thiophenoxy, anilino and phenoxy in the definition of R^{11}, R^{12}, R^{13} and R^{14} are optionally substituted with up to three substituents independently selected from hydroxy, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said imidazolyl, oxazolyl, isoxazolyl, thiazolyl and pyrazolyl in the definition of R^{11}, R^{12}, R^{13} and R^{14} are optionally substituted with up to two substituents independently selected from hydroxy, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said morpholinyl in the definition of R^{11}, R^{12}, R^{13} and R^{14} is optionally substituted with up to two substituents independently selected from $(C_1$-$C_4)$alkyl; said pyrrolidinyl in the definition of R^{11}, R^{12}, R^{13} and R^{14} is optionally substituted with up to two substituents independently selected from hydroxy, hydroxy-$(C_1$-$C_3)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said piperazinyl in the definition of R^{11}, R^{12}, R^{13} and

R^{14} is optionally substituted with up to three substituents independently selected from $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, hydroxy-$(C_1$-$C_3)$alkyl, phenyl, pyridyl, $(C_0$-$C_4)$alkylsulfamoyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said triazolyl in the definition of R^{11}, R^{12}, R^{13} and R^{14} is optionally substituted with hydroxy, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said tetrazolyl in the definition of R^{11}, R^{12}, R^{13} and R^{14} is optionally substituted with hydroxy-$(C_2$-$C_3)$alkyl or $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro; and said phenyl and pyridyl which are optionally substituted on piperazine in the definition of R^{11}, R^{12}, R^{13} and R^{14} are optionally substituted with up to three hydroxy, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; or

R^{11} and R^{12} are taken together on adjacent carbon atoms and are $-CH_2OC(CH_3)_2OCH_2-$ or $-O-(CH_2)_p-O-$, and R^{13} and R^{14} are taken separately and are each independently hydrogen or $(C_1$-$C_4)$alkyl;

p is 1, 2 or 3;

R^{15} and R^{16} are taken separately and are each independently hydrogen, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro; or R^{15} and R^{16} are taken separately and R^{15} is hydrogen and R^{16} is $(C_3$-$C_6)$cycloalkyl, hydroxy-$(C_1$-$C_3)$alkyl, phenyl, pyridyl, pyrimidyl, thienyl, furanyl, thiazolyl, oxazolyl, imidazolyl, benzothiazolyl or benzoxazolyl; or R^{15} and R^{16} are taken together and are $(C_3$-$C_6)$alkylene;

G^3, G^4 and G^5 are taken separately and are each hydrogen; r is 0; R^{18} is hydrogen, $(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxycarbonyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, hydroxy-$(C_1$-$C_4)$alkyl or phenyl optionally independently substituted with up to three hydroxy, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl or $(C_1$-$C_4)$alkoxy, wherein said $(C_1$-$C_4)$alkyl in the definition of R^6 and said $(C_1$-$C_4)$alkoxy in the definition of R^6 are optionally and independently substituted with up to five fluoro; and R^{19} and R^{20} are each independently $(C_1$-$C_4)$alkyl; or

G^3, G^4 and G^5 are taken separately and are each hydrogen; r is 1; R^{18} is hydrogen, $(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxycarbonyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, hydroxy-$(C_1$-$C_4)$alkyl or phenyl optionally independently substituted with up to three hydroxy, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl or $(C_1$-$C_4)$alkoxy, wherein said $(C_1$-$C_4)$alkyl in the definition of R^6 and said $(C_1$-$C_4)$alkoxy in the definition of R^6 are optionally and independently substituted with up to five fluoro; and R^{19} and R^{20} are each independently hydrogen or $(C_1$-$C_4)$alkyl; or

G^3 and G^4 are taken together and are $(C_1$-$C_3)$alkylene; r is 0 or 1; and R^{18}, R^{19}, R^{20} and G^5 are hydrogen; or

G^4 and G^5 are taken together and are $(C_1$-$C_3)$alkylene; r is 0 or 1; and R^{18}, R^{19}, R^{20} and G^3 are hydrogen;

R^{17} is $SO_2NR^{21}R^{22}$, $CONR^{21}R^{22}$, $(C_1$-$C_6)$alkoxycarbonyl, $(C_1$-$C_6)$alkylcarbonyl, Ar^2-carbonyl, $(C_1$-$C_6)$alkylsulfonyl, $(C_1$-$C_6)$alkylsulfinyl, Ar^2-sulfonyl, Ar^2-sufinyl and $(C_1$-$C_6)$alkyl;

R^{21} and R^{22} are taken separately and are each independently selected from hydrogen, $(C_1$-$C_6)$alkyl, $(C_3$-$C_7)$cycloalkyl and Ar^2-$(C_0$-$C_4)$alkylenyl; or

R^{21} and R^{22} are taken together with the nitrogen atom to which they are attached to form azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, azepinyl, azabicyclo[3.2.2]nonanyl, azabicyclo[2.2.1]heptyl, 6,7-dihydro-5H-dibenzo[c,e]azepinyl, 1,2,3,4-tetrahydro-isoquinolyl or 5,6,7,8-tetrahydropyrido[4,3-d]pyrimidyl; said azetidinyl in the definition of R^{21} and R^{22} is optionally substituted independently with one substituent selected from hydroxy, amino, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said pyrrolidinyl, piperidinyl, azepinyl in the definition of R^{21} and R^{22} are optionally substituted independently with up to two substituents independently selected from hydroxy, amino, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said morpholinyl in the definition of R^{21} and R^{22} is optionally substituted with up to two substituents independently selected from hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said piperazinyl in the definition of R^{21} and R^{22} is optionally substituted independently with up to three substituents independently selected from phenyl, pyridyl, pyrimidyl, $(C_1$-$C_4)$alkoxycarbonyl and $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro; said 1,2,3,4-tetrahydro-isoquinolyl and said 5,6,7,8-tetrahydropyrido[4,3-d]pyrimidyl in the definition of R^{21} and R^{22} are optionally substituted independently with up to three substituents independently selected from hydroxy, amino, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; and said 6,7-dihydro-5H-dibenzo[c,e]azepinyl in the definition of R^{21} and R^{22} is optionally substituted with up to four substituents independently selected from hydroxy, amino, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said pyrimidyl,

pyridyl and phenyl which are optionally substituted on said piperazine in the definition of R^{21} and R^{22} is optionally substituted with up to three substituents selected from hydroxy, amino, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro and (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

Ar^2 is independently defined as set forth for Ar and Ar^1 above;

said Ar^2 is optionally independently substituted as set forth for Ar and Ar^1 above;

R^{23} is $CONR^{25}R^{26}$ or $SO_2R^{25}R^{26}$, wherein R^{25} is hydrogen (C_1-C_4)alkyl or Ar^3-(C_0-C_4)alkylenyl and R^{26} is Ar^3-(C_0-C_4)alkylenyl; provided that when Ar^3 is phenyl, naphthyl or biphenyl, then R^{23} cannot be $CONR^{25}R^{26}$ where R^{25} is hydrogen or Ar^3 and R^{26} is Ar^3;

R^{24} is hydrogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxycarbonyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, hydroxy-(C_1-C_4)alkyl or phenyl optionally independently substituted with up to three hydroxy, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl or (C_1-C_4)alkoxy, wherein said (C_1-C_4)alkyl in the definition of R^6 and said (C_1-C_4)alkoxy in the definition of R^6 are optionally and independently substituted with up to five fluoro;

Ar^3 is independently defined as set forth for Ar and Ar^1 above;

said Ar^3 is optionally independently substituted as set forth for Ar and Ar^1 above;

R^{27} is hydrogen or (C_1-C_4)alkyl;

R^{28} and R^{29} are each independently hydrogen, hydroxy, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro, (C_1-C_4)alkoxy optionally substituted with up to five fluoro, phenyl, pyridyl, pyrimidyl, thienyl, furanyl, thiazolyl, oxazolyl, phenoxy, thiophenoxy, $SO_2NR^{30}R^{31}$, $CONR^{30}R^{31}$ or $NR^{30}R^{31}$; said thienyl, pyrimidyl, furanyl, thiazolyl and oxazolyl in the definition of R^{28} and R^{29} are optionally substituted by up to two hydroxy, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro; said phenyl, pyridyl, phenoxy and thiophenoxy in the definition of R^{28} and R^{29} are optionally substituted by up to three hydroxy, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

R^{30} and R^{31} are each independently hydrogen, (C_1-C_4)alkyl, (C_3-C_7)cycloalkyl or phenyl, said phenyl is optionally substituted with up to three hydroxy, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro; or

R^{30} and R^{31} are taken together with the nitrogen to which they are attached to form indolinyl, pyrrolidinyl, piperidinyl, piperazinyl or morpholinyl; said pyrrolidinyl and piperidinyl in the definition of R^{30} and R^{31} are optionally substituted with up to two hydroxy, amino, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro; said indolinyl and piperazinyl in the definition of R^{30} and R^{31} are optionally substituted with up to three hydroxy, amino, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxycarbonyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro; said morpholinyl in the definition of R^{30} and R^{31} is optionally substituted with up to two substituents independently selected from hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro and (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

A is N optionally substituted with hydrogen or (C_1-C_4)alkyl and B is carbonyl; or

A is carbonyl and B is N optionally substituted with hydrogen or (C_1-C_4)alkyl;

R^{32} is hydrogen or (C_1-C_4)alkyl;

R^{33} is phenyl, pyridyl, pyrimidyl, thiazolyl, oxazolyl, benzyl, quinolyl, isoquinolyl, phthalizinyl, quinoxanlyl, benzothiazoyl, benzoxazolyl, benzofuranyl or benzothienyl; said phenyl, pyridyl, pyrimidyl, thiazolyl, oxazolyl, benzyl, quinolyl, isoquinolyl, phthalizinyl, quinoxanlyl, benzothiazoyl, benzoxazolyl, benzofuranyl and benzothienyl in the definition of R^{33} are optionally substituted with up to three phenyl, phenoxy, $NR^{34}R^{35}$, halo, hydroxy, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

R^{34} and R^{35} are each independently hydrogen, (C_1-C_4 alkyl), phenyl or phenylsulfonyl; said phenyl and phenylsulfonyl in the definition of R^{34} and R^{35} are optionally substituted with up to three halo, hydroxy, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

D is CO, CHOH or CH_2;

E is O, NH or S;

R^{36} and R^{37} are taken separately and are each independently hydrogen, halo, cyano, hydroxy, amino, (C_1-C_6)alkylamino, di-(C_1-C_6)alkylamino, pyrrolidino, piperidino, morpholino, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, hydroxy-(C_1-C_4)alkyl, Ar^4, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

R^{38}, R^{39} and R^{40} are each independently hydrogen or (C_1-C_4)-alkyl;

Ar^4 is phenyl, furanyl, thienyl, pyridyl, pyrimidyl, pyrazinyl or pyridazinyl; said Ar^4 being optionally substituted with up to three hydroxy, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro or $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; or

R^{36} and R^{37} are taken together on adjacent carbon atoms and are -O-$(CH_2)_t$-O-;

t is 1, 2 or 3;

Y is $(C_2$-$C_6)$alkylene;

R^{44}, R^{45} and R^{46} are each independently hydrogen or $(C_1$-$C_4)$alkyl;

m and n are each independently 1, 2 or 3, provided that the sum of m and n is 2, 3 or 4;

k is 0, 1, 2, 3 or 4;

Y^1 is a covalent bond, carbonyl, sulfonyl or oxycarbonyl;

R^{43} is $(C_3$-$C_7)$cycloalkyl, Ar^5-$(C_0$-$C_4)$alkylenyl, $NR^{47}R^{48}$ or $(C_1$-$C_6)$alkyl optionally substituted with one to five fluoro; provided that when Y^1 is a covalent bond or oxycarbonyl, then R^{43} is not $NR^{47}R^{48}$;

R^{47} and R^{48} are taken separately and are each independently selected from hydrogen, Ar^5, $(C_1$-$C_6)$alkyl and Ar^5-$(C_0$-$C_4)$alkylenyl; or

R^{47} and R^{48} are taken together with the nitrogen atom to which they are attached to form azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, azepinyl, azabicyclo[3.2.2]nonanyl, azabicyclo[2.2.1]heptyl, 1,2,3,4-tetrahydroisoquinolyl, 6,7-dihydro-5H-dibenzo[c,e]azepinyl or 5,6,7,8-tetrahydropyrido[4,3-d]pyrimidyl; said azetidinyl in the definition of R^{47} and R^{48} are optionally substituted with one hydroxy, amino, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro or $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said pyrrolidinyl, piperidinyl and azepinyl in the definition of R^{47} and R^{48} are optionally substituted with up to two hydroxy, amino, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro or $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said morpholinyl in the definition of R^{47} and R^{48} is optionally substituted with up to two substituents independently selected from hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro and $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; said piperazinyl, 1,2,3,4-tetrahydroisoquinolyl and 5,6,7,8-tetrahydro[4,3-d]pyrimidyl in the definition of R^{47} and R^{48} are optionally substituted with up to three hydroxy, amino, halo, hydroxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy-$(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkyl optionally substituted with up to five fluoro or $(C_1$-$C_4)$alkoxy optionally substituted with up to five fluoro; and said 6,7-dihydro-5H-dibenzo[c,e]azepinyl in the definition of R^{47}

and R^{48} are optionally substituted with up to four hydroxy, amino, halo, hydroxy-(C_1-C_4)alkyl, (C_1-C_4)alkoxy-(C_1-C_4)alkyl, (C_1-C_4)alkyl optionally substituted with up to five fluoro or (C_1-C_4)alkoxy optionally substituted with up to five fluoro;

Ar^5 is independently defined as set forth for Ar and Ar^1 above;

Ar^5 is optionally independently substituted as set forth for Ar and Ar^1 above;

R^{42} and R^{42a} are independently hydrogen, (C_3-C_7)cycloalkyl, Ar^6-(C_0-C_3)alkylenyl, Ar^6-(C_2-C_4)alkenyl, Ar^6-carbonyl or (C_1-C_6)alkyl optionally substituted with up to five fluoro;

Ar^6 is independently defined as set forth for Ar and Ar^1 above;

Ar^6 is optionally independently substituted as set forth for Ar and Ar^1 above; and

R^{41} and R^{41a} are each independently hydrogen or (C_1-C_4)alkyl.

166. (Original) A compound of claim 165 selected from 1R-(4-{4-[2-(1R-butyryloxy-ethyl)-pyrimidin-4-yl]-2R,6S-dimethyl-piperazin-1-yl}-pyrimidin-2-yl)-ethyl butyrate; 1R-(4-{4-[2-(1S-butyryloxy-ethyl)-pyrimidin-4-yl]-2R,6S-dimethyl-piperazin-1-yl}-pyrimidin-2-yl)-ethyl butyrate; 1S-(4-{4-[2-(1R-butyryloxy-ethyl)-pyrimidin-4-yl]-2R,6S-dimethyl-piperazin-1-yl}-pyrimidin-2-yl)-ethyl butyrate; (E)-1R-{4-[4-(2-methyl-32-phenyl-acryloyl)-piperazin-1-yl]-pyrimidin-2-yl}-ethyl acetate; (R)-1-[4-(4-quinoxalin-2-yl-piperazin-1-yl)-pyrimidin-2-yl]-ethyl acetate; 1R-(4-{4-[2-(1RS-hydroxy-ethyl)-pyrimidin-4-yl]-2R,6S-dimethyl-piperazin-1-yl}-pyrimidin-2-yl)-ethyl butyrate; 1RS-(4-{4-[2-(1R-hydroxy-ethyl)-pyrimidin-4-yl]-3R,5S-dimethyl-piperazin-1-yl}-pyrimidin-2-yl)-ethyl butyrate; 1R-[4-(3S-methyl-4-oxazolo[5,4-b]pyridin-2-yl-piperazin-1-yl)-pyrimidin-2-yl]-ethyl butyrate; 1R-{4-[3R,5S-dimethyl-4-(4-methyl-6-phenyl-[1,3,5]triazin-2-yl)-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate; 1R-{4-[4-(4-cyclopropyl-[1,3,5]triazin-2-yl)-3R,5S-dimethyl-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate; 1R-{4-[4-(4-cyclopropyl-[1,3,5]triazin-2-yl)-2R,6S-dimethyl-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate; 1R-{4-[4-(4,6-dimethyl-[1,3,5]triazin-2-yl)-2R,6S-dimethyl-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate; 1R-{4-[4-(4-hydroxymethyl-6-phenyl-[1,3,5]triazin-2-yl)-2R,6S-dimethyl-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate; 1R-{4-[4-(4-methoxy-6-methoxymethyl-[1,3,5]triazin-2-yl)-R,6S-dimethyl-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate; and 1R-{4-[2R,6S-dimethyl-4-(4-methyl-[1,3,5]triazin-2-yl)-piperazin-1-yl]-pyrimidin-2-yl}-ethyl butyrate.

167. - 188. (Canceled)

An early and favorable action is respectfully requested.

Respectfully submitted,

Dated: August 26, 2003

Robert T. Ronau
Attorney for Applicants
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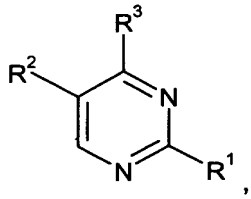
CLAIMS

1. A compound of the formula I



I

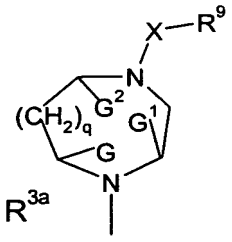
a prodrug thereof or a pharmaceutically acceptable salt of said compound or said prodrug, wherein:

R^1 is formyl, acetyl, propionyl, carbamoyl or -C(OH)R^4R^5;

R^4 and R^5 are each independently hydrogen, methyl, ethyl or hydroxy-(C_1-C_3)alkyl;

R^2 is hydrogen, (C_1-C_4)alkyl or (C_1-C_4)alkoxy;

R^3 is a radical of the formula



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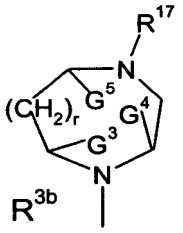

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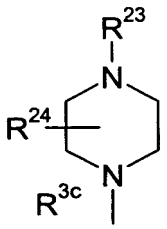

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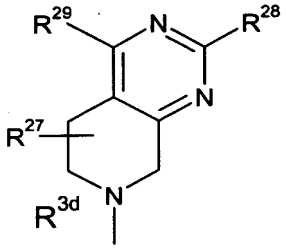

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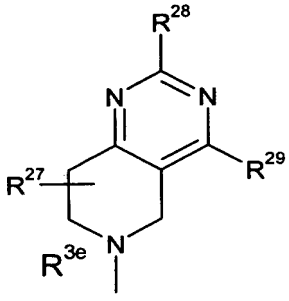

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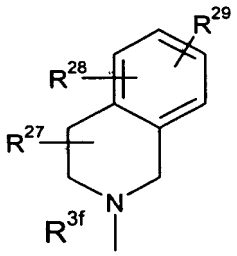

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